

# BEST AVAILABLE COPY

PCT

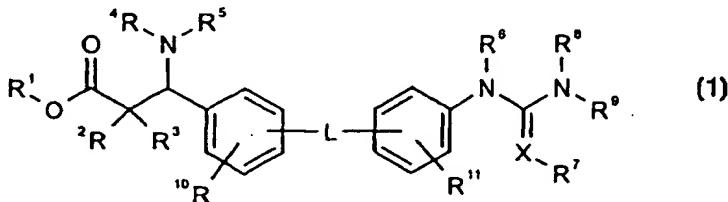
WORLD INTELLECTUAL PROPERTY ORGANIZATION  
International Bureau

*D. Linkerheil*  
WORLD INTELLECTUAL PROPERTY ORGANIZATION  
International Bureau

## INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification <sup>7</sup> : C07C 311/47, 311/19, C07D 233/50, 333/50, 333/38, 213/75, 213/40, 235/30, A61K 31/215, 31/415, 31/38, 31/44		A3	(11) International Publication Number: <b>WO 00/41469</b> PCT
			(43) International Publication Date: 20 July 2000 (20.07.00)
(21) International Application Number: <b>PCT/EP00/00120</b> Patente & Lizenzen	KB-HP	(81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).	
(22) International Filing Date: 11 January 2000 (11.01.00) 24. NOV. 2000	EINGANG 15 January 1999 (15.01.99) US BAYER AG LEVERKUSEN	(71) Applicant (for all designated States except US): BAYER AKTIENGESELLSCHAFT [DE/DE]; D-51368 Leverkusen (DE).	
(72) Inventors; and (75) Inventors/Applicants (for US only): SCHOOP, Andreas [DE/DE]; Siefen 3, D-51491 Overath (DE). MÜLLER, Gerhard [DE/DE]; Berliner Strasse 70, D-51377 Leverkusen (DE). BRÜGGEMEIER, Ulf [DE/DE]; Leysiefen 20, D-42799 Leichlingen (DE). SCHMIDT, Delf [DE/DE]; Am Eckbusch 55b, D-42113 Wuppertal (DE). STELTE-LUDWIG, Beatrix [DE/DE]; Götzheide 10f, D-42489 Wülfrath (DE). KELDENICH, Jörg [DE/DE]; Damaschkeweg 49, D-42113 Wuppertal (DE). VALBERS, Markus [DE/DE]; Edelrather Weg 154, D-51375 Leverkusen (DE).	Published With international search report.		
(74) Common Representative: BAYER AKTIENGESELLSCHAFT; D-51368 Leverkusen (DE).	(88) Date of publication of the international search report: 16 November 2000 (16.11.00)		

(54) Title: *β-PHENYLALANINE DERIVATIVES AS INTEGRIN ANTAGONISTS*



### (57) Abstract

The present invention relates to compounds of general formula (1), wherein R<sup>4</sup> is -SO<sub>2</sub>R<sup>4'</sup>, -COOR<sup>4''</sup>, -COR<sup>4''</sup>, -CONR<sup>4''</sup>R<sup>2</sup> or -CSNR<sup>4''</sup>R<sup>2</sup>; R<sup>4'</sup> is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue; R<sup>4''</sup> is a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue; L is a sulphonamide, amide, ether, ester, keto, urea, thioether, sulphoxide or sulphone unit optionally extended by one or two methylene groups; and X is N, O or S; and their physiologically acceptable salts and stereoisomers. The present invention furthermore relates to a process for the preparation of the compounds of formula (1), a pharmaceutical composition containing at least one of these compounds, and the use of compounds of formula (1) for the production of a pharmaceutical composition having integrin-antagonistic action and in particular for the inhibition of angiogenesis and/or for the therapy and prophylaxis of cancer, osteolytic diseases such as osteoporosis, arteriosclerosis, restenosis and ophthalmic disorders.

4A 33 364